

29/08/2006

Roy P. Issac 10/764,989

=> d his

(FILE 'HOME' ENTERED AT 16:45:49 ON 29 AUG 2006)

FILE 'REGISTRY' ENTERED AT 16:46:00 ON 29 AUG 2006

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS SAM

L3 11 S L1 SSS FULL

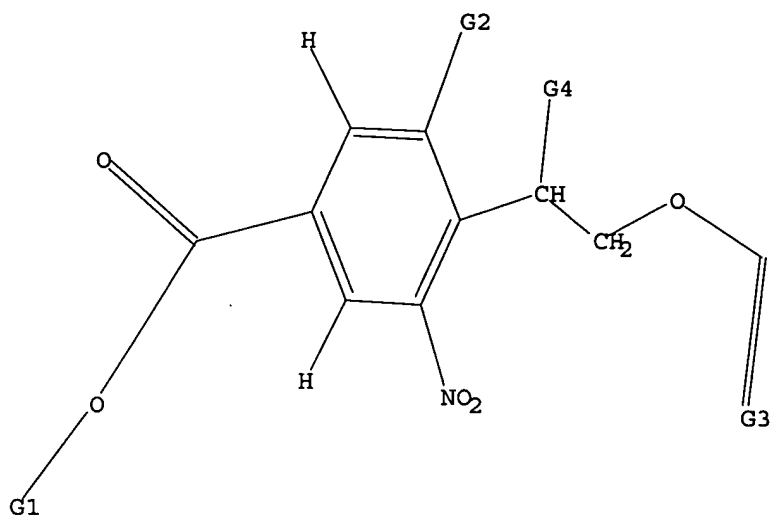
FILE 'CAPLUS' ENTERED AT 16:47:12 ON 29 AUG 2006

L4 5 S L3

FILE 'HOME' ENTERED AT 16:48:03 ON 29 AUG 2006

29/08/2006

Roy P. Issac 10/764,989



G1 Me,t-Bu

G2 H,NO2,Cl,Br,F,I

G3 O,S

G4 H,MeO,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 16:46:56 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3 TO 163

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 16:47:03 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 68 TO ITERATE

100.0% PROCESSED 68 ITERATIONS

11 ANSWERS

SEARCH TIME: 00.00.01

L3 11 SEA SSS FUL L1

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

167.38

167.59

FILE 'CAPLUS' ENTERED AT 16:47:12 ON 29 AUG 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 29 Aug 2006 VOL 145 ISS 10
FILE LAST UPDATED: 28 Aug 2006 (20060828/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l3

L4 5 L3

=> d ibib abs hitstr 1-5

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:718549 CAPLUS

DOCUMENT NUMBER: 141:225775

TITLE: Novel photolabile protective groups for improved processes to prepare oligonucleotide arrays

INVENTOR(S): Buehler, Sigrid; Ott, Markus; Pfleiderer, Wolfgang

PATENT ASSIGNEE(S): Nigu Chemie G.m.b.H., Germany

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

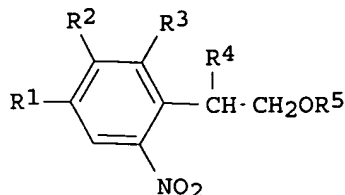
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074300	A2	20040902	WO 2004-EP50158	20040219
WO 2004074300	A3	20041229		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004175741	A1	20040909	US 2004-764989	20040126
GB 2414237	A1	20051123	GB 2005-17834	20040219
PRIORITY APPLN. INFO.:			US 2003-449070P	P 20030221
			US 2004-764989	A 20040126
			WO 2004-EP50158	W 20040219

29/08/2006

Roy P. Issac 10/764,989

OTHER SOURCE(S):
GI

CASREACT 141:225775; MARPAT 141:225775



I

AB The present invention discloses novel and improved nucleosidic and nucleotidic compds. I, wherein R¹ is COOY, wherein Y is alkyl under the proviso that R² is H, NO₂, CN, OCH₃, halogen, alkyl, alkoxy; or R¹ is H, NO₂, CN, OCH₃, halogen, alkyl, alkoxy, under the proviso that R² is aryl, heteroaryl, aroyl; R³ is H, NO₂, halogen; R⁴ is H, OCH₃, alkyl; R₅ is H, C(:X)Z; X is oxygen, sulfur; Z is leaving group, O-atom of a hydroxy group, or a N-atom of an amino group, of a compound comprising the photolabile protective group, that are useful in the light-directed synthesis of oligonucleotides, as well as, methods and reagents for their preparation. These compds. are characterized by novel photolabile protective groups that are attached to either the 5'- or the 3'- hydroxyl group of a nucleoside moiety. The photolabile protective group is comprised of a 2-(2-nitrophenyl)-ethoxycarbonyl skeleton with at least one substituent on the aromatic ring that is either an aryl, an aroyl, a heteroaryl or an alkoxy carbonyl group. The present invention includes the use of the aforementioned compds. in light-directed oligonucleotide synthesis, the resp. assembly of nucleic acid micro-arrays and their application. Thus, N⁶-benzoyl-5'-O-[2-(5-benzoyl-2-nitrophenyl)-1-propyloxycarbonyl]-2'-deoxyadenosine-3'-O-(3-cyanoethoxy-N,N-diisopropyl)phosphoramidite was prepared using 2-(2-nitrophenyl)-ethoxycarbonyl protective groups.

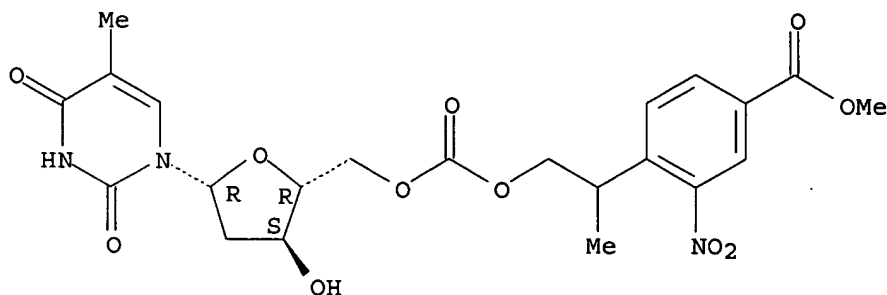
IT 702643-86-5P 702643-87-6P 748789-31-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(2-(2-nitrophenyl)-ethoxycarbonyl novel photolabile protective groups for improved processes to prepare oligonucleotide arrays)

RN 702643-86-5 CAPLUS

CN Thymidine, 5'-[2-[4-(methoxycarbonyl)-2-nitrophenyl]propyl carbonate]
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



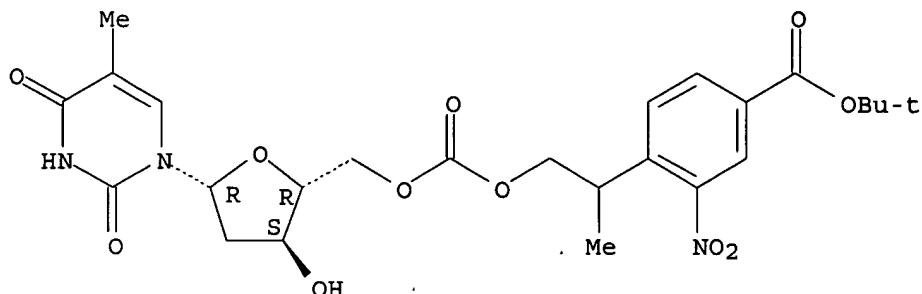
29/08/2006

Roy P. Issac 10/764,989

RN 702643-87-6 CAPLUS

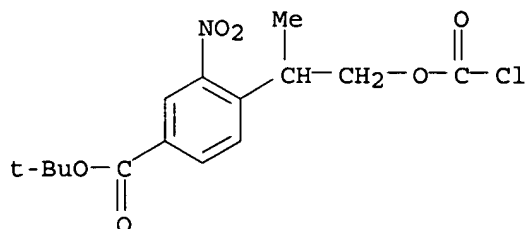
CN Thymidine, 5'-[2-[4-[(1,1-dimethylethoxy)carbonyl]-2-nitrophenyl]propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 748789-31-3 CAPLUS

CN Benzoic acid, 4-[2-[(chlorocarbonyl)oxy]-1-methylethyl]-3-nitro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



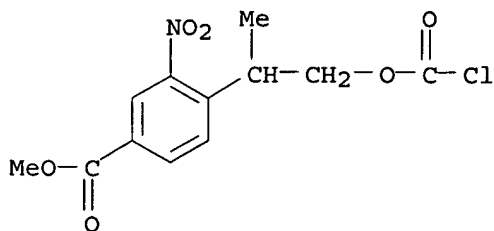
IT 748789-30-2P 748789-38-0P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(2-(2-nitrophenyl)-ethoxycarbonyl novel photolabile protective groups for improved processes to prepare oligonucleotide arrays)

RN 748789-30-2 CAPLUS

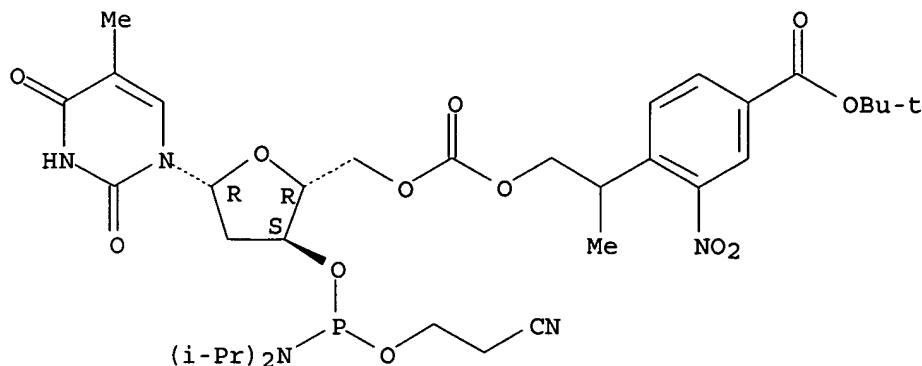
CN Benzoic acid, 4-[2-[(chlorocarbonyl)oxy]-1-methylethyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)



RN 748789-38-0 CAPLUS

CN Thymidine, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] 5'-[2-[4-[(1,1-dimethylethoxy)carbonyl]-2-nitrophenyl]propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:328520 CAPLUS
 DOCUMENT NUMBER: 141:38801
 TITLE: New types of very efficient photolabile protecting groups based upon the [2-(2-nitrophenyl)propoxylcarbonyl (NPPOC) moiety
 AUTHOR(S): Buehler, Sigrid; Lagoja, Irene; Giegrich, Heiner; Stengele, Klaus-Peter; Pfeleiderer, Wolfgang
 CORPORATE SOURCE: Chemogenix, Waldkraiburg, D-84478, Germany
 SOURCE: Helvetica Chimica Acta (2004), 87(3), 620-659
 CODEN: HCACAV; ISSN: 0018-019X
 PUBLISHER: Verlag Helvetica Chimica Acta
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 141:38801
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Based upon the photolabile [2-(2-nitrophenyl)propoxylcarbonyl group (NPPOC), a large number of modified 2-(2-nitrophenyl)propanol derivs. substituted at the Ph ring, e.g. I, were synthesized to improve the photo-reactivity of this new type of photolabile entity. The Ph moiety was also exchanged by the naphthalenyl group, the thienyl substituent, and the benzo-thienyl substituent, e.g. II. The 2-(2-nitroaryl- and heteroaryl)propanols were converted with diphosgene into the corresponding carbonyl-chloridates, which reacted subsequently with thymidine to the thymidine 5'-(protected carbonates), e.g. III, as the main reaction products. In several cases, the corresponding 3'-carbonates and 3',5'-dicarbonates were also isolated and characterized. Photolysis studies under standardized conditions indicated that the rate of photo-cleavage varies in a broad range depending on the substituents. So far, the thymidine 5'-[2-(5-halo-2-nitrophenyl)propyl carbonates], 5'-[2-(nitro[1,1'-biphenyl]3-yl)propyl carbonates], 5'-[2-(2-nitro-5-(thianthren-1-yl)phenyl)propyl carbonate], 5'-[2-(5-naphthalenyl-2-nitrophenyl)propyl carbonates], and 5'-[2-(2-nitro-5-thienylphenyl)propyl carbonates] showed the best properties regarding fast and uniform deprotection. Since the nucleobases of, e.g. IV, do not influence the

photo-cleavage features, in general, the new type of photolabile building blocks allows in form of their 3'-phosphoramidites the photo-lithog. formation of high-quality bio-chips.

IT 702643-86-5P 702643-87-6P

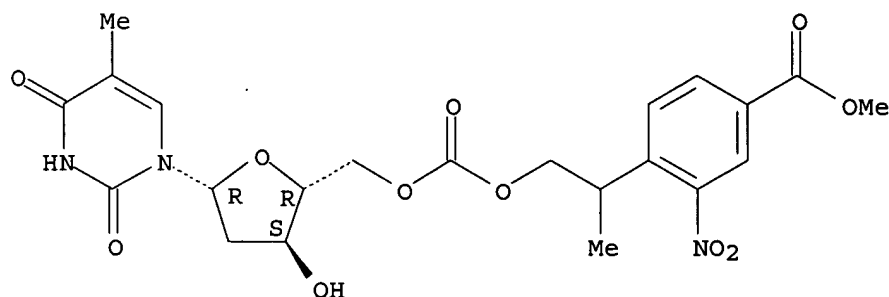
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(efficient photolabile protecting groups based upon the [2-(2-nitrophenyl)propoxy]carbonyl (NPPOC) moiety in preparation of nucleosides)

RN 702643-86-5 CAPLUS

CN Thymidine, 5'-[2-[4-(methoxycarbonyl)-2-nitrophenyl]propyl carbonate] (9CI) (CA INDEX NAME)

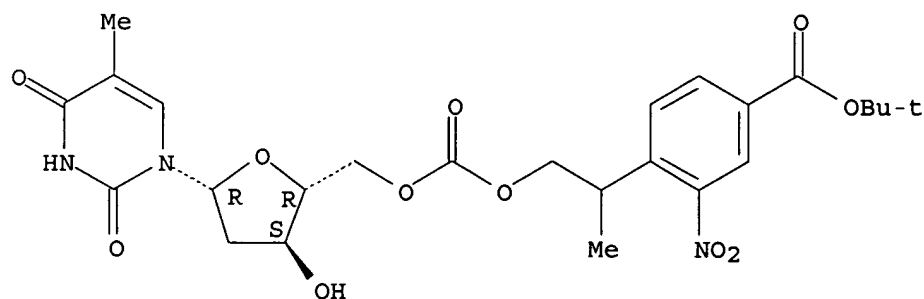
Absolute stereochemistry.



RN 702643-87-6 CAPLUS

CN Thymidine, 5'-[2-[4-[(1,1-dimethylethoxy)carbonyl]-2-nitrophenyl]propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 702644-36-8P

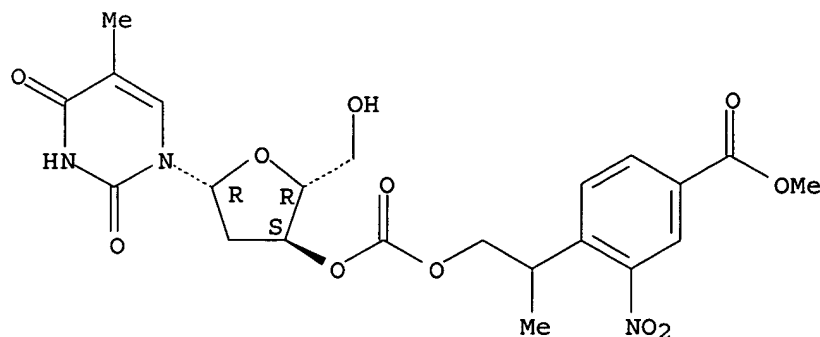
RL: SPN (Synthetic preparation); PREP (Preparation)

(efficient photolabile protecting groups based upon the [2-(2-nitrophenyl)propoxy]carbonyl (NPPOC) moiety in preparation of nucleosides)

RN 702644-36-8 CAPLUS

CN Thymidine, 3'-[2-[4-(methoxycarbonyl)-2-nitrophenyl]propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:701792 CAPLUS

DOCUMENT NUMBER: 126:31567

TITLE: New carbamate supports for the preparation of 3'-amino-modified oligonucleotides

AUTHOR(S): Avino, Anna; Garcia, Ramon Guimil; Albericio, Fernando; Mann, Matthias; Wilm, Matthias; Neubauer, Gitte; Eritja, Ramon

CORPORATE SOURCE: Dep. Molecular Biol., Cent. Investigacion Desarrollo-CSIC, Barcelona, E-08034, Spain

SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(10), 1649-1658

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel approach for the preparation of oligodideoxyribonucleotides carrying amino groups at the 3'-end is described. Several CPG supports having aminoalkyl groups and 3'-amino-2',3'-dideoxynucleosides linked through basic-labile carbamate linkages such as 2-(2-nitrophenyl)ethoxycarbonyl and fluorenylmethoxycarbonyl were prepared using two different strategies. These supports are compatible to the standard solid phase phosphite-triester methodol. and yield oligonucleotides containing amino groups at the 3'-end. Several properties of the 3'-amino oligonucleotides, such as nuclease resistance, hybridization, and preparation of oligonucleotide conjugates are discussed.

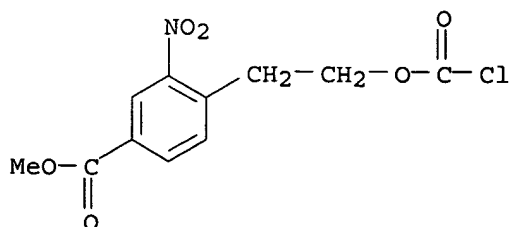
IT 134403-97-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(new carbamate supports for the preparation of aminooligonucleotides)

RN 134403-97-7 CAPLUS

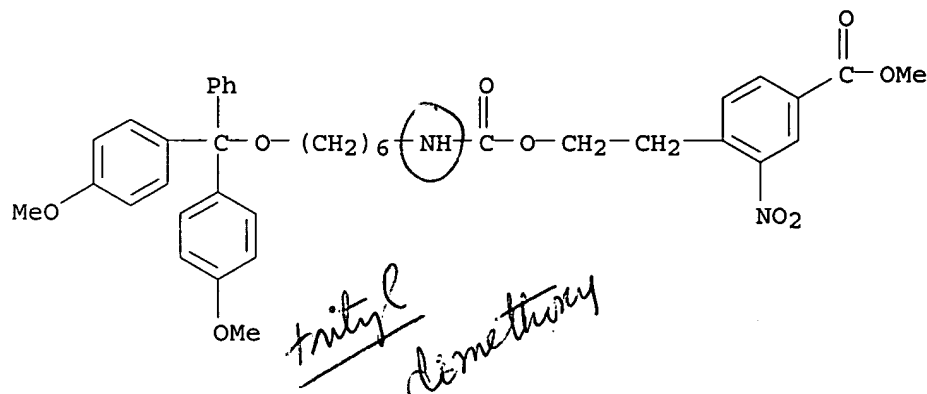
CN Benzoic acid, 4-[2-[(chlorocarbonyl)oxy]ethyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)



29/08/2006

Roy P. Issac 10/764,989

IT 184241-42-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(new carbamate supports for the preparation of aminooligonucleotides)
RN 184241-42-7 CAPLUS
CN Benzoic acid, 4-[2-[[[6-[bis(4-methoxyphenyl)phenylmethoxy]hexyl]amino]car-
bonyl]oxy]ethyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:470220 CAPLUS

DOCUMENT NUMBER: 117:70220

TITLE: A synthetic procedure for the preparation of
oligonucleotides without using ammonia and its
application for the synthesis of oligonucleotides
containing O-4-alkyl thymidines

AUTHOR(S): Eritja, Ramon; Robles, Jordi; Avino, Anna; Albericio,
Fernando; Pedroso, Enrique

CORPORATE SOURCE: Dep. Mol. Genet., CSIC, Barcelona, 08034, Spain

SOURCE: Tetrahedron (1992), 48(20), 4171-82

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The preparation of 5'-O-dimethoxytrityl (DMT) and p-nitrophenylethyl (NPEOC, NPE) protected nucleosides linked to 4-(2-hydroxyethyl)-3-nitrobenzoic acid derivs. is described. These products attached to controlled-pore glass supports and together with DMT and NPE-protected nucleoside cyanoethyl phosphoramidites permits a first time preparation of short (6-13 bases) oligonucleotides containing the ammonia sensitive mutagenic bases O-4-Pr and O-4-Bu thymidines, 5' GCTprAGC 3' and 5' GCTbuAGC 3'.

IT 134403-97-7P

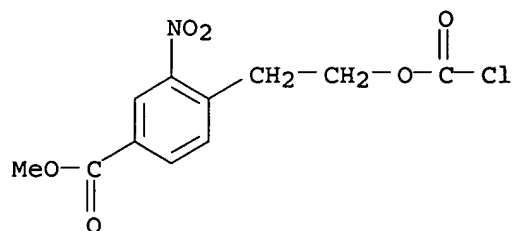
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to protected nucleosides)

RN 134403-97-7 CAPLUS

CN Benzoic acid, 4-[2-[(chlorocarbonyl)oxy]ethyl]-3-nitro-, methyl ester
(9CI) (CA INDEX NAME)

29/08/2006

Roy P. Issac 10/764,989



IT 134403-93-3P 142599-81-3P 142599-82-4P

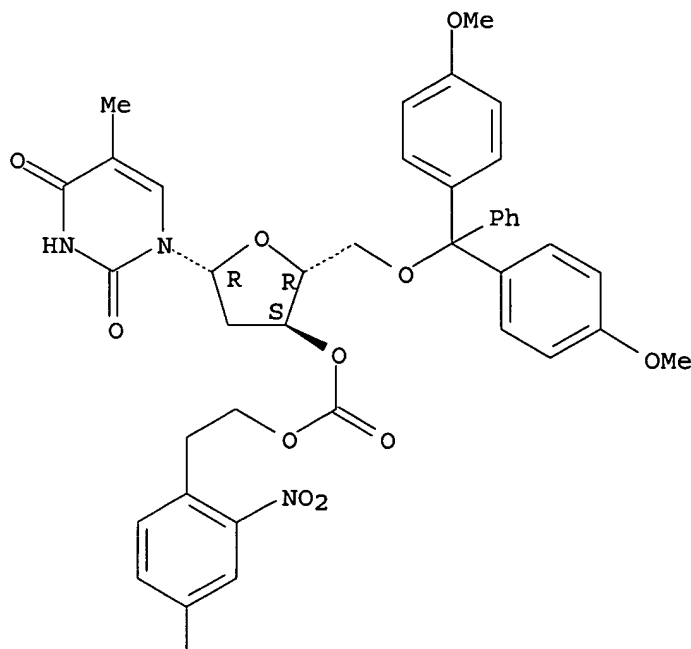
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 134403-93-3 CAPLUS

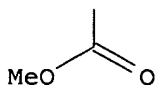
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[4-(methoxycarbonyl)-2-nitrophenyl]ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



RN 142599-81-3 CAPLUS

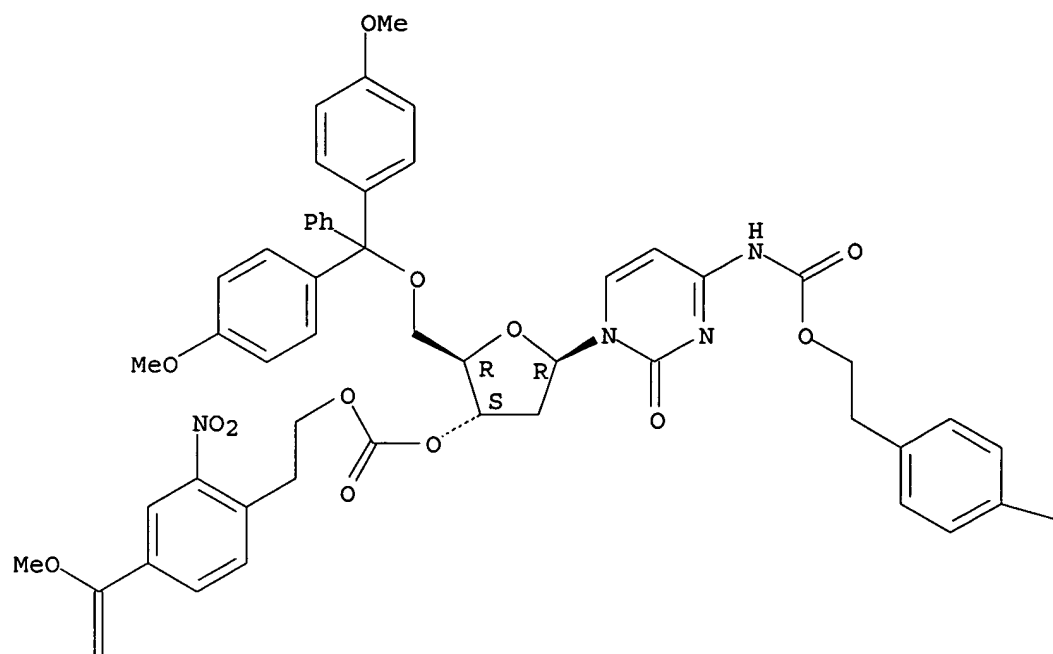
CN Cytidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-, 3'-[2-[4-(methoxycarbonyl)-2-nitrophenyl]ethyl carbonate] (9CI) (CA INDEX NAME)

29/08/2006

Roy P. Issac 10/764,989

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—NO₂

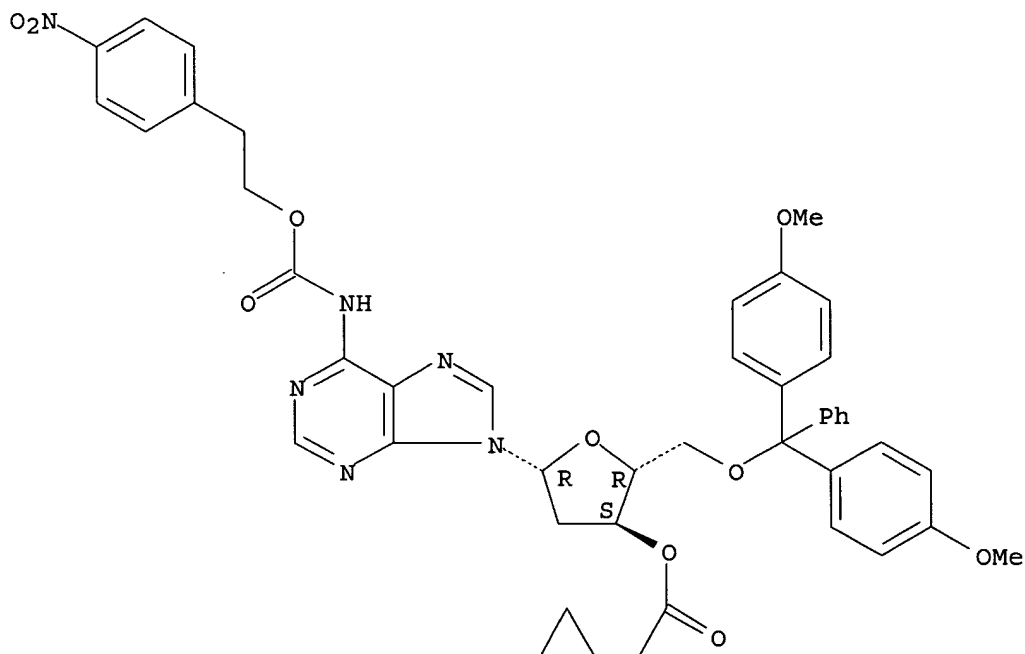
Roy P. Issac 10/764,989

$$\begin{array}{c} \parallel \\ \text{O} \end{array}$$

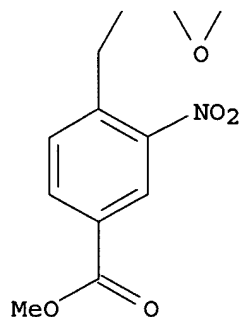
RN	142599-82-4	CAPLUS
CN	Adenosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-[2-(4-nitrophenyl)ethoxy]carbonyl]-, 3'-[2-[4-(methoxycarbonyl)-2-nitrophenyl]ethyl carbonate] (9CI) (CA INDEX NAME)	

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

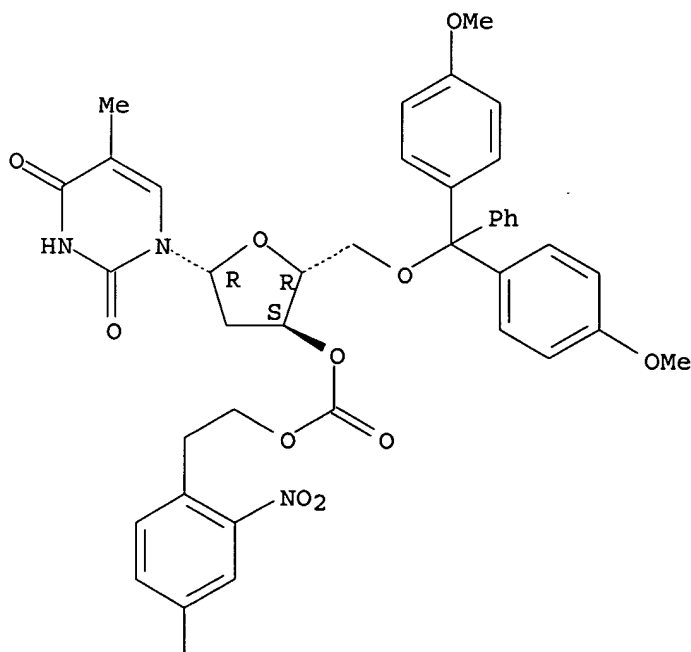


L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:429800 CAPLUS

DOCUMENT NUMBER: 115:29800
TITLE: NPE-resin, a new approach to the solid-phase synthesis of protected peptides and oligonucleotides. I. Synthesis of the supports and their application to oligonucleotide synthesis
AUTHOR(S): Eritja, Ramon; Robles, Jordi; Fernandez-Forner, Dolores; Albericio, Fernando; Giralt, Ernest; Pedrosa, Enrique
CORPORATE SOURCE: Dep. Mol. Genet., CSIC, Barcelona, E-08034, Spain
SOURCE: Tetrahedron Letters (1991), 32(11), 1511-14
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The preparation of polymeric supports containing a base labile 2-(2-nitrophenyl) Et linkage and the attachment of protected nucleosides is described together with their application to oligonucleotide synthesis.
IT 134403-93-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and hydrolysis of)
RN 134403-93-3 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[4-(methoxycarbonyl)-2-nitrophenyl]ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

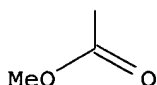
PAGE 1-A



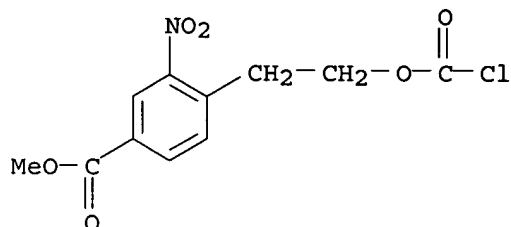
29/08/2006

Roy P. Issac 10/764,989

PAGE 2-A



IT 134403-97-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction of, with thymidine derivative)
RN 134403-97-7 CAPLUS
CN Benzoic acid, 4-[2-[(chlorocarbonyl)oxy]ethyl]-3-nitro-, methyl ester
(9CI) (CA INDEX NAME)



=> FIL HOME

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
26.01	193.60

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-3.75	-3.75

CA SUBSCRIBER PRICE

FILE 'HOME' ENTERED AT 16:48:03 ON 29 AUG 2006

=> d his

(FILE 'HOME' ENTERED AT 16:45:49 ON 29 AUG 2006)

FILE 'REGISTRY' ENTERED AT 16:46:00 ON 29 AUG 2006

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS SAM

L3 11 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:47:12 ON 29 AUG 2006

L4 5 S L3

FILE 'HOME' ENTERED AT 16:48:03 ON 29 AUG 2006